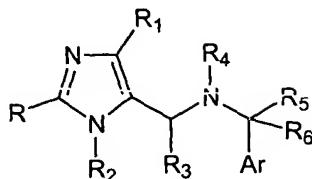


WHAT IS CLAIMED IS:

1. A compound of the formula:



or a pharmaceutically acceptable form thereof, wherein:

R represents:

- (i) hydrogen, halogen, cyano or C<sub>1</sub>-C<sub>2</sub> haloalkyl, or
- (ii) C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>2</sub>-C<sub>4</sub>alkenyl, C<sub>2</sub>-C<sub>4</sub>alkynyl, C<sub>1</sub>-C<sub>2</sub>alkanoyl, C<sub>3</sub>-C<sub>7</sub>cycloalkyl, C<sub>3</sub>-C<sub>7</sub>cycloalkenyl or heterocycloalkyl, each of which is optionally substituted;

R<sub>1</sub> represents:

- (i) hydrogen, hydroxy, halogen, amino, cyano, nitro, C<sub>1</sub>-C<sub>2</sub>haloalkyl or C<sub>1</sub>-C<sub>2</sub>haloalkoxy;
- (ii) C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>2</sub>-C<sub>4</sub>alkenyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>3</sub>-C<sub>7</sub>cycloalkyl, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>1</sub>-C<sub>2</sub>alkyl, or mono- or di-C<sub>1</sub>-C<sub>6</sub>alkylamino, or
- (iii) phenylC<sub>0</sub>-C<sub>4</sub>carbhydryl or (5- or 6-membered heteroaryl)C<sub>0</sub>-C<sub>4</sub>carbhydryl, each of which is optionally substituted;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>7</sub> alkyl or optionally substituted C<sub>2</sub>-C<sub>7</sub> alkenyl;

R<sub>3</sub> is hydrogen or C<sub>1</sub>-C<sub>6</sub>alkyl;

R<sub>4</sub> represents:

- (i) C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl or C<sub>2</sub>-C<sub>6</sub>alkynyl, each of which is optionally substituted;
- (ii) optionally substituted arylC<sub>0</sub>-C<sub>2</sub>alkyl having 1 ring or 2 fused rings;
- (iii) optionally substituted arylC<sub>1</sub>-C<sub>2</sub>alkyl, wherein the aryl portion is fused to a 5- to 7-membered saturated or partially unsaturated ring having 0, 1 or 2 ring atoms independently chosen from N, O and S, with remaining ring atoms being carbon; or
- (iv) optionally substituted (4- to 12-membered heterocycle)C<sub>0</sub>-C<sub>4</sub>alkyl;

R<sub>5</sub> and R<sub>6</sub> are independently chosen from hydrogen and C<sub>1</sub>-C<sub>6</sub>alkyl; and

Ar represents:

- (i) optionally substituted aryl having 1 ring or 2 fused or pendant rings;

- (ii) optionally substituted phenyl fused to a 5- to 7-membered saturated or partially unsaturated ring having 0, 1 or 2 ring atoms independently chosen from N, O and S, with remaining ring atoms being carbon; or
- (iii) optionally substituted heteroaryl having 1 ring or 2 fused or pendant rings, from 5 to 7 members in each ring, and in at least one ring from 1 to 3 heteroatoms independently selected from N, O and S.

2. A compound or pharmaceutically acceptable form thereof according to claim 1, wherein:

R represents:

- (i) hydrogen, halogen, cyano or C<sub>1</sub>-C<sub>2</sub>haloalkyl; or
- (ii) C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>2</sub>-C<sub>4</sub>alkenyl, C<sub>2</sub>-C<sub>4</sub>alkynyl, C<sub>1</sub>-C<sub>2</sub>alkanoyl, C<sub>3</sub>-C<sub>7</sub>cycloalkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkenyl or 5- to 7-membered heterocycloalkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy and C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl;

R<sub>1</sub> represents:

- (i) hydrogen, hydroxy, halogen, amino, cyano, nitro, C<sub>1</sub>-C<sub>2</sub>haloalkyl or C<sub>1</sub>-C<sub>2</sub> haloalkoxy;
- (ii) C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>2</sub>-C<sub>4</sub>alkenyl, C<sub>2</sub>-C<sub>4</sub>alkynyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>3</sub>-C<sub>7</sub>cycloalkyl, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>1</sub>-C<sub>2</sub>alkyl, or mono- or di-C<sub>1</sub>-C<sub>4</sub>alkylamino, each of which is substituted with from 0 to 3 substituents independently chosen from hydrogen, hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy and C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl; or
- (iii) phenylC<sub>0</sub>-C<sub>4</sub>carbhydryl or (5- or 6-membered heteroaryl)C<sub>0</sub>-C<sub>4</sub>carbhydryl, wherein each 5- or 6-membered heteroaryl is independently chosen from imidazolyl, pyridyl, thiazolyl, pyrimidinyl and thienyl, and wherein each phenylC<sub>0</sub>-C<sub>4</sub>carbhydryl or (5- or 6-membered heteroaryl)C<sub>0</sub>-C<sub>4</sub>carbhydryl is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, nitro, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub> haloalkyl, C<sub>1</sub>-C<sub>2</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>2</sub>-C<sub>4</sub>alkanoyl, C<sub>1</sub>-C<sub>2</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>2</sub>alkylsulfinyl and C<sub>1</sub>-C<sub>2</sub>alkylthio;

$R_2$  is  $C_1$ - $C_7$ alkyl or  $C_2$ - $C_7$ alkenyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, oxo,  $C_1$ - $C_2$ alkoxy,  $C_1$ - $C_2$  mono-and di-alkylamino,  $C_3$ - $C_7$ cycloalkyl and phenyl;

$R_3$  is hydrogen or  $C_1$ - $C_6$ alkyl;

$R_4$  represents:

(i)  $C_1$ - $C_6$ alkyl,  $C_2$ - $C_6$  alkenyl or  $C_2$ - $C_6$  alkynyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano,  $C_1$ - $C_2$ alkyl,  $C_1$ - $C_2$ alkoxy, or  $C_1$ - $C_2$ alkoxycarbonyl;

(ii) aryl $C_0$ - $C_2$ alkyl having 1 ring or 2 fused rings;

(iii) benzyl fused to a 5- to 7-membered saturated or partially unsaturated ring having 0, 1 or 2 ring atoms independently chosen from N, O and S with remaining ring atoms being carbon; or

(iv) (4- to 12-membered heterocycle) $C_0$ - $C_2$ alkyl;

wherein each of (ii)-(iv) is substituted with from 0 to 4 substituents independently chosen from hydroxy, halogen, amino, cyano, nitro, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, oxo,  $C_1$ - $C_2$ haloalkyl,  $C_1$ - $C_2$ haloalkoxy,  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ alkoxy, mono- and di-( $C_1$ - $C_6$ )alkylamino,  $C_1$ - $C_4$ alkanoyl,  $C_1$ - $C_2$ sulfonate,  $C_1$ - $C_2$ alkylsulfonyl,  $C_1$ - $C_2$ alkylsulfinyl,  $C_1$ - $C_4$ alkylthio,  $C_2$ - $C_4$ alkanone,  $C_1$ - $C_4$ alkyl ester,  $C_1$ - $C_4$ alkanoyloxy,  $C_1$ - $C_2$ alkoxycarbonyl and  $C_1$ - $C_2$ alkylcarboxamido; and

Ar represents:

(i) an aryl group having 1 ring or 2 fused or pendant rings;

(ii) phenyl fused to a 5- to 7-membered saturated or partially unsaturated ring having 0, 1 or 2 ring atoms independently chosen from N, O and S with remaining ring atoms being carbon; or

(iii) a heteroaryl group having 1 ring or 2 fused or pendant rings, from 5 to 7 members in each ring, and in at least one ring from 1 to 3 heteroatoms selected from N, O, and S;

each of which is substituted with from 0 to 4 substituents independently chosen from hydroxy, halogen, amino, cyano, nitro, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, oxo,  $C_1$ - $C_2$ haloalkyl,  $C_1$ - $C_2$ haloalkoxy,  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ alkoxy, mono- and di-( $C_1$ - $C_6$ )alkylamino,  $C_1$ - $C_4$ alkanoyl,  $C_1$ - $C_2$ sulfonate,  $C_1$ - $C_2$ alkylsulfonyl,  $C_1$ - $C_2$ alkylsulfinyl,  $C_1$ - $C_4$ alkylthio,  $C_2$ - $C_4$ alkanone,  $C_1$ - $C_4$ alkyl ester,  $C_1$ - $C_4$ alkanoyloxy,  $C_1$ - $C_2$ alkoxycarbonyl and  $C_1$ - $C_2$ alkylcarboxamido.

3. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein R<sub>5</sub> is hydrogen, and R<sub>6</sub> is hydrogen, methyl or ethyl.

4. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein R<sub>1</sub> is phenyl substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, nitro, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>2</sub>-C<sub>4</sub>alkanoyl, C<sub>1</sub>-C<sub>2</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>2</sub>alkylsulfinyl and C<sub>1</sub>-C<sub>2</sub>alkylthio.

5. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein R<sub>1</sub> is phenyl substituted with 1 or 2 substituents independently chosen from hydroxy, halogen, amino, cyano, nitro, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>2</sub>alkyl and C<sub>1</sub>-C<sub>2</sub>alkoxy.

6. A compound or pharmaceutically acceptable form thereof according to claim 2 wherein R<sub>1</sub> is unsubstituted phenyl.

7. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein R<sub>1</sub> is hydrogen, hydroxy, halogen, amino, cyano, trifluoromethyl, pentafluoroethyl, difluoromethyl, trifluoromethoxy or difluoromethoxy.

8. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein R<sub>1</sub> is halogen.

9. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein R<sub>2</sub> is propyl, butyl, pentyl or 3-methylbutyl.

10. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein R<sub>3</sub> is hydrogen.

11. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein R<sub>4</sub> is C<sub>1</sub>-C<sub>6</sub>alkyl.

12. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein R<sub>4</sub> is benzyl substituted with from 0 to 4 substituents independently chosen from hydroxy, halogen, amino, cyano, nitro, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, oxo, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, mono- and di-(C<sub>1</sub>-

$C_6$ )alkylamino,  $C_1$ - $C_4$ alkanoyl,  $C_1$ - $C_2$ sulfonate,  $C_1$ - $C_2$ alkylsulfonyl,  $C_1$ - $C_2$ alkylsulfinyl,  $C_1$ - $C_4$ alkylthio,  $C_2$ - $C_4$ alkanone,  $C_1$ - $C_4$ alkyl ester,  $C_1$ - $C_4$ alkanoyloxy,  $C_1$ - $C_2$ alkoxycarbonyl and  $C_1$ - $C_2$ alkylcarboxamido.

13. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein  $R_4$  is benzyl substituted with from 0 to 2 substituents independently chosen from hydroxy, halogen, amino, cyano, -COOH, -CONH<sub>2</sub>,  $C_1$ - $C_2$ haloalkyl,  $C_1$ - $C_2$ haloalkoxy,  $C_1$ - $C_2$ alkyl, mono- and di-( $C_1$ - $C_2$ )alkylamino,  $C_1$ - $C_2$ alkoxy,  $C_1$ - $C_2$ alkanoyl and  $C_1$ - $C_2$ alkoxycarbonyl.

14. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein  $R_4$  is benzyl fused to a 5- to 7-membered saturated or partially unsaturated ring having 0, 1 or 2 ring atoms chosen from N, O, and S with remaining ring atoms being carbon, wherein the benzyl fused to a 5- to 7-membered ring is substituted with from 0 to 4 substituents independently chosen from hydroxy, halogen, amino, cyano, -COOH, -CONH<sub>2</sub>,  $C_1$ - $C_2$ haloalkyl,  $C_1$ - $C_2$ haloalkoxy,  $C_1$ - $C_2$ alkyl, mono- and di-( $C_1$ - $C_2$ )alkylamino,  $C_1$ - $C_2$ alkoxy,  $C_1$ - $C_2$ alkanoyl and  $C_1$ - $C_2$ alkoxycarbonyl.

15. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein  $R_4$  is benzo[1,3]dioxol-5-ylmethyl, 2,3-dihydro-1-benzofuran-6-ylmethyl, 2,3-dihydro-1-benzofuran-5-ylmethyl, chroman-6-ylmethyl, chroman-7-ylmethyl, 1H-indol-5-yl, 1H-indazol-5-yl, 1,2,3,4-tetrahydro-quinolin-6-yl or 2,3-dihydro-benzo[1,4]dioxin-6-ylmethyl, each of which is substituted with from 0 to 2 substituents independently chosen from hydroxy, halogen,  $C_1$ - $C_2$  alkyl and  $C_1$ - $C_2$ alkoxy.

16. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein  $R_4$  is benzo[1,3]dioxol-5-ylmethyl or 2,3-dihydro-benzo[1,4]dioxin-6-ylmethyl.

17. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein Ar represents phenyl substituted with from 0 to 4 substituents independently chosen from hydroxy, halogen, amino, cyano, nitro, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, oxo,  $C_1$ - $C_2$ haloalkyl,  $C_1$ - $C_2$ haloalkoxy,  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ alkoxy, mono- and di-( $C_1$ - $C_6$ )alkylamino,  $C_1$ - $C_4$ alkanoyl,  $C_1$ - $C_2$ sulfonate,  $C_1$ - $C_2$ alkylsulfonyl,  $C_1$ -

C<sub>2</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>alkylthio, C<sub>2</sub>-C<sub>4</sub>alkanone, C<sub>1</sub>-C<sub>4</sub>alkylester, C<sub>1</sub>-C<sub>4</sub>alkanoyloxy; C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl and C<sub>1</sub>-C<sub>2</sub>alkylcarboxamido.

18. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein Ar represents phenyl substituted with from 0 to 2 substituents independently chosen from hydroxy, halogen, amino, cyano, -COOH, -CONH<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>2</sub>alkyl, mono- and di-(C<sub>1</sub>-C<sub>2</sub>alkyl)amino, C<sub>1</sub>-C<sub>2</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>alkanoyl and C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl.

19. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein Ar represents phenyl fused to a 5- to 7-membered saturated or partially unsaturated ring having 0, 1 or 2 ring atoms independently chosen from N, O and S with remaining ring atoms being carbon, and wherein the phenyl fused to a 5- to 7-membered ring is substituted with from 0 to 4 substituents independently chosen from hydroxy, halogen, amino, cyano, -COOH, -CONH<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>2</sub>alkyl, mono- and di-(C<sub>1</sub>-C<sub>2</sub>)alkylamino, C<sub>1</sub>-C<sub>2</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>alkanoyl and C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl.

20. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein Ar represents benzo[1,3]dioxol-5-yl, 2,3-dihydro-1-benzofuran-6-yl, 2,3-dihydro-1-benzofuran-5-yl, chroman-6-yl, chroman-7-yl, 1H-indol-5-yl, 1H-indazol-5-yl, 1,2,3,4-tetrahydro-quinolin-6-yl or 2,3-dihydro-benzo[1,4]dioxin-6-yl, each of which is substituted with from 0 to 2 substituents independently chosen from hydroxy, halogen, C<sub>1</sub>-C<sub>2</sub> alkyl and C<sub>1</sub>-C<sub>2</sub>alkoxy.

21. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein Ar represents benzo[1,3]dioxol-5-yl or 2,3-dihydro-benzo[1,4]dioxin-6-yl.

22. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein R is halogen, cyano or acetyl.

23. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein R is C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>3</sub>-C<sub>7</sub>cycloalkyl or C<sub>3</sub>-C<sub>7</sub>cycloalkenyl each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>3</sub>alkyl and C<sub>1</sub>-C<sub>3</sub>alkoxy.

24. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein R is morpholinyl, piperazinyl, piperidinyl, pyrrolidinyl, azetidinyl, homopiperidinyl, homomorpholinyl, homopiperazinyl or thiomorpholinyl, each of which substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, COOH, C<sub>1</sub>-C<sub>3</sub>alkyl and C<sub>1</sub>-C<sub>3</sub>alkoxy.

25. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein:

R<sub>2</sub> is propyl, butyl, pentyl or 3-methylbutyl;

R<sub>3</sub> is hydrogen;

R<sub>5</sub> is hydrogen;

R<sub>6</sub> is hydrogen, methyl or ethyl; and

Ar represents phenyl fused to a 5- to 7-membered saturated or partially unsaturated ring having 0, 1 or 2 ring atoms independently chosen from N, O and S with remaining ring atoms being carbon, and wherein the phenyl fused to a 5- to 7-membered ring is substituted with substituents with from 0 to 2 substituents independently chosen from hydroxy, halogen, C<sub>1</sub>-C<sub>2</sub> alkyl and C<sub>1</sub>-C<sub>2</sub>alkoxy.

26. A compound or pharmaceutically acceptable form thereof according to claim 25, wherein

R<sub>1</sub> is hydrogen or phenyl substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, nitro, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>2</sub>-C<sub>4</sub>alkanoyl, C<sub>1</sub>-C<sub>2</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>2</sub>alkylsulfinyl and C<sub>1</sub>-C<sub>2</sub>alkylthio; and

R is:

(i) halogen, cyano or acetyl; or

(ii) C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>2</sub>-C<sub>4</sub>alkenyl, C<sub>3</sub>-C<sub>7</sub>cycloalkyl or C<sub>3</sub>-C<sub>7</sub>cycloalkenyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>3</sub>alkyl and C<sub>1</sub>-C<sub>3</sub>alkoxy.

27. A compound or pharmaceutically acceptable form thereof according to claim 26, wherein R<sub>4</sub> is C<sub>1</sub>-C<sub>6</sub>alkyl.

28. A compound or pharmaceutically acceptable form thereof according to claim 26, wherein R<sub>4</sub> is:

- (i) benzyl substituted with from 0 to 2 substituents independently chosen from hydroxy, halogen, amino, cyano, -COOH, -CONH<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>2</sub>alkyl, mono- and di-(C<sub>1</sub>-C<sub>2</sub>)alkylamino, C<sub>1</sub>-C<sub>2</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>alkanoyl, and C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl; or
- (ii) benzyl fused to a 5- to 7-membered saturated or partially unsaturated ring having 0, 1 or 2 ring atoms chosen from N, O, and S with remaining ring atoms being carbon, wherein the benzyl fused to a 5- to 7-membered ring is substituted with from 0 to 2 substituents independently chosen from hydroxy, halogen, C<sub>1</sub>-C<sub>2</sub>alkyl and C<sub>1</sub>-C<sub>2</sub>alkoxy.

29. A compound or pharmaceutically acceptable form thereof according to claim 25, wherein:

R<sub>1</sub> is hydrogen, hydroxy, halogen, amino, cyano, trifluoromethyl, pentafluoroethyl, difluoromethyl, trifluoromethoxy or difluoromethoxy; and R is morpholinyl, piperazinyl, piperidinyl, pyrrolidinyl, azetidinyl, homopiperidinyl, homomorpholinyl, homopiperazinyl or thiomorpholinyl, each of which substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, COOH, C<sub>1</sub>-C<sub>3</sub>alkyl and C<sub>1</sub>-C<sub>3</sub>alkoxy.

30. A compound or pharmaceutically acceptable form thereof according to claim 29, wherein R<sub>4</sub> is C<sub>1</sub>-C<sub>6</sub>alkyl.

31. A compound or pharmaceutically acceptable form thereof according to claim 29, wherein R<sub>4</sub> is

- (i) benzyl substituted with from 0 to 2 substituents independently chosen from hydroxy, halogen, amino, cyano, -COOH, -CONH<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>2</sub>alkyl, mono- and di-(C<sub>1</sub>-C<sub>2</sub>)alkylamino, C<sub>1</sub>-C<sub>2</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>alkanoyl and C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl; or
- (ii) benzyl fused to a 5- to 7-membered saturated or partially unsaturated ring having 0, 1 or 2 ring atoms chosen from N, O, and S with remaining ring atoms being carbon, wherein the benzyl fused to a 5- to 7-membered ring is substituted with from 0 to 2 substituents independently chosen from hydroxy, halogen, C<sub>1</sub>-C<sub>2</sub>alkyl and C<sub>1</sub>-C<sub>2</sub>alkoxy.

32. A compound or pharmaceutically acceptable form thereof according to claim 1, wherein the compound exhibits an  $IC_{50}$  of 500 nM or less in a standard *in vitro* C5a receptor-mediated chemotaxis or calcium mobilization assay.

33. A compound or pharmaceutically acceptable form thereof according to claim 1, wherein the compound exhibits an  $IC_{50}$  of 25 nM or less in a standard *in vitro* C5a receptor-mediated chemotaxis or calcium mobilization assay.

34. A compound or pharmaceutically acceptable form thereof according to claim 1, wherein the compound exhibits less than 5% agonist activity in a GTP binding assay.

35. A pharmaceutical composition comprising at least one compound or pharmaceutically acceptable form thereof according to claim 1, in combination with a physiologically acceptable carrier or excipient.

36. A method for inhibiting signal-transducing activity of a cellular C5a receptor, comprising contacting a cell expressing C5a receptor with at least one compound or pharmaceutically acceptable form thereof according to claim 1, and thereby reducing signal transduction by the C5a receptor.

37. A method according to claim 36, wherein the cell is contacted *in vivo* in an animal.

38. A method according to Claim 37, wherein the animal is a human.

39. A method of inhibiting binding of C5a to C5a receptor *in vitro*, the method comprising contacting C5a receptor with at least one compound or pharmaceutically acceptable form thereof according to claim 1, under conditions and in an amount sufficient to detectably inhibit C5a binding to C5a receptor.

40. A method of inhibiting binding of C5a to C5a receptor in a human patient, comprising contacting cells expressing C5a receptor with at least one compound or pharmaceutically acceptable form thereof according to claim 1, in an amount sufficient to detectably inhibit C5a binding to cells expressing a cloned C5a receptor *in vitro*, and thereby inhibiting binding of C5a to the C5a receptor in the patient.

41. A method for treating a patient suffering from rheumatoid arthritis, psoriasis, cardiovascular disease, reperfusion injury, or bronchial asthma comprising administering to the patient a C5a receptor modulatory amount of a compound or pharmaceutically acceptable form thereof according to claim 1.

42. A method for treating a patient suffering from stroke, myocardial infarction, atherosclerosis, ischemic heart disease, or ischemia-reperfusion injury comprising administering to the patient a C5a receptor modulatory amount of a compound or pharmaceutically acceptable form thereof according to claim 1.

43. A method for inhibiting C5a receptor-mediated cellular chemotaxis, comprising contacting mammalian white blood cells with a C5a receptor modulatory amount of a compound or pharmaceutically acceptable form thereof according to claim 1.

44. A method for localizing C5a receptor in a tissue sample, comprising:

- (a) contacting the tissue sample containing C5a receptor with a detectably labeled compound according to claim 1 under conditions that permit binding of the compound to C5a receptors; and
- (b) detecting the bound compound.

45. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 35 in a container; and
- (b) instructions for using the composition to treat a patient suffering from rheumatoid arthritis, psoriasis, cardiovascular disease, reperfusion injury, or bronchial asthma.

46. A packaged pharmaceutical preparation

- (a) a pharmaceutical composition according to claim 35 in a container; and
- (b) instructions for using the composition to treat stroke, myocardial infarction, atherosclerosis, ischemic heart disease, or ischemia-reperfusion injury.

47. A pharmaceutical composition according to claim 35, wherein the pharmaceutical composition is formulated as an injectible fluid, an aerosol, a cream, a gel, a pill, a capsule, a syrup, or a transdermal patch.